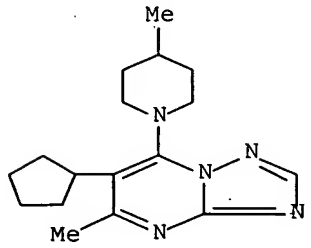
(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of (alkyl)(amino)triazolopyrimidines as agricultural fungicides)

RN 691005-18-2 CAPLUS

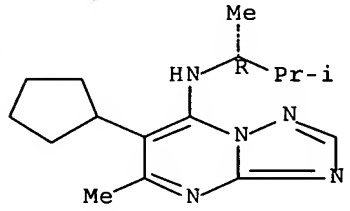
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 6-cyclopentyl-5-methyl-7-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 691005-19-3 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-cyclopentyl-N-[(1R)-1,2-dimethylpropyl]-5-methyl- (9CI) (CA INDEX NAME)

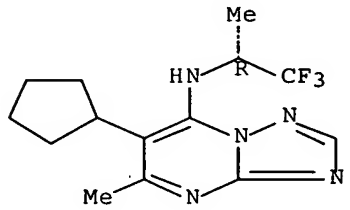
Absolute stereochemistry.



RN 691005-20-6 CAPLUS

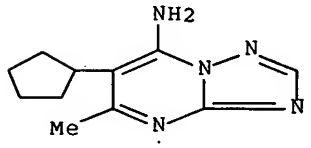
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-cyclopentyl-5-methyl-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 691005-21-7 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-cyclopentyl-5-methyl- (9CI) (CA INDEX NAME)



RN 691005-22-8 CAPLUS

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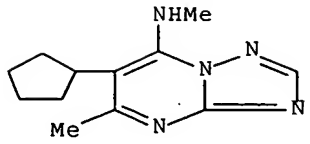
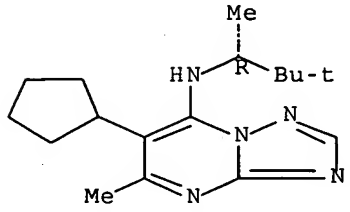



RN 691005-23-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-cyclopentyl-5-methyl-N-[(1R)-1,2,2-trimethylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:412948 CAPLUS Full-text
DN 140:423679
TI Preparation of 5-alkyl-7-aminotriazolopyrimidines as agricultural fungicides
IN Tormo i Blasco, Jordi; Blettner, Carsten; Mueller, Bernd; Gewehr, Markus; Grammenos, Wassilios; Grote, Thomas; Gypser, Andreas; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Ammermann, Eberhard; Strathmann, Siegfried; Schoefl, Ulrich; Stierl, Reinhard
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004041825 | A1 | 20040521 | WO 2003-EP12277 | 20031104 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| | CA 2504192 | A1 | 20040521 | CA 2003-2504192 | 20031104 |
| | AU 2003283348 | A1 | 20040607 | AU 2003-283348 | 20031104 |
| | BR 2003015780 | A | 20050913 | BR 2003-15780 | 20031104 |
| | EP 1585747 | A1 | 20051019 | EP 2003-775290 | 20031104 |
| | EP 1585747 | B1 | 20060913 | | |
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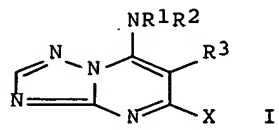
| | CN 1711263 | A | 20051221 | CN 2003-80102810 | 20031104 |
| | JP 2006514000 | T | 20060427 | JP 2004-549100 | 20031104 |
| | AT 339421 | T | 20061015 | AT 2003-775290 | 20031104 |
| | US 2005272749 | A1 | 20051208 | US 2005-531981 | 20050420 |
| PRAI | DE 2002-10252261 | A | 20021107 | | |
| | WO 2003-EP12277 | W | 20031104 | | |

OS MARPAT 140:423679
GI



I

AB Title compds. [I; R1, R2 = H, alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, Ph, naphthyl, 5-6 membered (saturated) (aromatic) heterocyclyl; or NR1R2 = 5-6 membered heterocyclyl, etc.; R3 = (substituted) C3-14 cycloalkyl, C6-14 bicycloalkyl; X = C1-6 alkyl, C1-2 haloalkyl], were prepared Thus, 5-methyl-6-cyclopentyl-7-chloro-1,2,4-triazolo[1,5- a]pyrimidine (preparation given) was stirred with a solution of 4-methylpiperidine, Et3N, and CH2Cl2 for 16 h at 20°-25° to give 5-methyl-6-cyclopentyl-7-(4-methylpiperidin-1-yl)-1,2,4-triazolo[1,5- a]pyrimidine. The latter at 250 ppm gave 100% control of Alternaria solani.

IT 691005-18-2P 691005-19-3P 691005-20-6P
691005-21-7P 691005-22-8P 691005-23-9P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN

L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1948:33759 CAPLUS Full-text
DN 42:33759
OREF 42:7178h-i,7179a-i,7180a-i
TI Stabilizers for photographic emulsions
IN Heimbach, Newton; Kelly, Walter, Jr.
PA General Aniline & Film Corp.
DT Patent
LA Unavailable
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2444605 | | 19480706 | US 1945-635334 | 19451215 |

GI For diagram(s), see printed CA Issue.

AB Light-sensitive Ag halide emulsions are stabilized by hydroxy-1,3,4-triazaindolizines (I) obtained by the condensation of a β-keto ester, a malonic acid ester, or a mononitrile of a malonic acid ester with an aminotriazole. In I R is H, alkyl, alicyclic, aryl, or heterocyclic, R' is H, alkyl, alicyclic, aryl, or a heterocyclic radical of the same value as R, and R'' is either NH2, OH, carbalkoxy, alkyl, or an alicyclic or heterocyclic radical of the same value as R. When R and R' are H, R'' must be a radical other than alkyl. I is prepared by refluxing 1 mol. of the β-keto ester, malonic ester, or mononitrile of a malonic ester with 1 mol. 3-amino-1,2,4-triazole at reflux temperature in the presence of a solvent, e.g., glacial AcOH, 3-8 hrs.; during the treatment H2O and alc. are formed. As the condensation proceeds the final product either ppts. from solution during the reaction or is removed by diluting the solvent with H2O, EtOH, etc. Suitable β-keto esters are acetoacetic ester, malonic esters and mononitriles are di-Me malonate, Et cyanoacetate, and 5-amino-1,2,4,1H-triazoles are 5-amino-3-methyl-1,2,4,1H-triazole, etc. The following 1,3,4-triazaindolizines have been prepared: 7-hydroxy-6-ethyl-5-methyl (II); 7-hydroxy-6-ethyl-2,5-dimethyl; 7-hydroxy-5-methyl-2-phenyl; 7-hydroxy-2-methyl-5-phenyl; 7-hydroxy-5-phenyl (III); 7-hydroxy-2,5-diphenyl; 7-hydroxy-2-isopropyl-5- methyl; 7-hydroxy-2,5-dimethyl; 5,7-dihydroxy; 7-hydroxy-5-amino; 7-hydroxy-5-carbethoxy; 7-hydroxy-5-(3-pyridyl) (IV); 7-hydroxy-2- cyclohexyl-5-methyl; 7-hydroxy-2-(2-furyl)-5-methyl; 7-hydroxy-5- cyclohexyl; 7-hydroxy-6-cyclohexyl-5-methyl; 7-hydroxy-6-(2-furyl)-5- methyl; 7-hydroxy-5-methyl-6-phenyl. In preparing an emulsion with stabilizers, a solution of the stabilizer in a solvent, e.g., alc. or alc.-H2O, pH 7.5-10, is made and the solution mixed with the emulsion during ripening or prior to coating in concns. of 25-500 mg. per l. of emulsion. Testing of stabilizers used in the following examples consists of coating 2 film strips, e.g., cellulose acetate, with the same emulsion, one with and one without a stabilizer, storing the emulsions in an incubator for 6 days at 50°, then processing in the usual way. The fog d. in the unexposed areas in the emulsions is measured in a transmission densitometer. A gelatin-bromoiodide emulsion without stabilizer gave a fog d. of 0.28 while another film coated with the same emulsion containing an addition of 100 mg. IV per 1 l. emulsion equivalent to 50 g. Ag halide, gave a fog d. of 0.08; an equivalent quantity of III substituted for IV gave the same results; 75 mg. II substituted for 100 mg. IV gave a fog d. of 0.1. Emulsions containing these stabilizers not only reduce fog produced by incubation or by long storage, but also diminish or eliminate changes of speed to which some emulsions are susceptible. Stabilizers are used in orthochromatic, panchromatic, nonsensitized, and x-ray emulsions. If used with sensitizing dyes they are added to the emulsion before or after the dyes are added. Dispersing agents for Ag halides are gelatin or H2O-soluble cellulose derivs., e.g., hydroxyethylcellulose. Stabilizers are employed in gelatin or other colloid, e.g., polyamides, as an under- or overcoat for the emulsion or as backing layer for the support. They may be incorporated in the support for the sensitive emulsion layer or in an

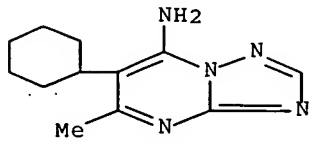
intermediate layer between the sensitive emulsion layer and the support, such as the baryta coating used in photographic papers, or incorporated in a protective layer coated on the emulsion surface, or the finished photographic material may be bathed in an alc. or alc.-H2O solution containing the stabilizer. In U.S. 2,444,606, I are obtained by the condensation of a β-keto or β-imino nitrile with a 5-amino-1,2,4,1H-triazole; R and R' are H, alkyl, alicyclic, aryl, or a heterocyclic radical, and R'' is either alkyl, alicyclic, aryl, or a heterocyclic radical of the same value as R. Suitable β-keto nitriles are acetylacetonitrile and β-imino nitriles, β-iminobutyronitrile. As condensation between the β-keto or β-imino group and the primary amino group of the 5-amino-1,2,4,1H-triazole proceeds the final product either ppts. or is removed by diluting the solvent with H2O, EtOH, or Me2CO. The following 1,3,4-triazaindolizines have been prepared: 7-amino-5-methyl (V); 7-amino-5-phenyl (VI); 7-amino-5-methyl-2-phenyl (VII); 7-amino-6-ethyl-5-methyl; 7-amino-5-methyl-6-phenyl; 7-amino-2-(2-furyl)-5- methyl; 7-amino-5-(3-pyridyl); 7-amino-2,5-dimethyl; 7-amino-2-cyclohexyl- 5-methyl; 7-amino-5-cyclohexyl; 7-amino-5-methyl-6-(3-pyridyl); 7-amino-5-methyl-6-cyclohexyl. The same testing procedures as in U.S. 2,444,605 were used: In the 1st example, V gave the same results; in the 2nd example, VI gave the same results; in the 3rd example, 75 mg. VII substituted for 100 mg. V gave a fog d. of 0.1. In U.S. 2,444,608, the preparation of 1,3-bis(5-amino-1,3,4,1H-triazolyl)oxopropenes (VIII), where R is H or alkyl, R' is alkyl of the same value as R, aryl, or aralkyl, and R'' is either H, allyl, or alkyl of the same value as R, by condensing a β-keto ester or anilide thereof with a 5-amino-1,2,4,1H-triazole, and their use as stabilizers to prevent fog and increase stability are given. Suitable β-keto esters and anilides are, e.g., Et acetoacetate, Et toluylacetylacetanilide. Condensation is carried out by heating the reagents at 150-60° with C6H5NO2 for from 10 min. to 2 hrs. The final product either ppts. or is removed by diluting with an aromatic hydrocarbon, e.g., PhMe, or an oxygenated solvent, e.g., EtOH, and recrystd. from H2O. Instead of heating, the reactants may be allowed to stand in cold 5-20% aqueous NaOH or KOH for several days at room temperature, diluted with an equal volume of H2O, and warmed to redissolve the product. Cold glacial AcOH is added and, after chilling, the product is filtered, washed in cold H2O, and recrystd. from boiling H2O. The following 2-propen-1-ones have been prepared: 1,3-bis(5-amino-1,2,4,1H-triazol-1-yl)-3- methyl-2-allyl (IX); 1,3-bis(5-amino-1,2,4,1H-triazol-1-yl)-3-methyl (X); 1,3-bis(5-amino-3-methyl-1,2,4,1H-triazol-1-yl)-3-methyl (XI); 1,3-bis(5-amino-3-methyl-1,2,4,1H-triazol-1-yl)-3-methyl-2-allyl; 1,3-bis(5-amino-1,2,4,1H-triazol-1-yl)-3-phenyl; 1,3-bis(5-amino-1,2,4,1H- triazol-1-yl)-3-ethyl; 1,3-bis(5-amino-3-propyl-1,2,4,1H-triazol-1-yl)-3- methyl; 1,3-bis(5-amino-3-ethyl-1,2,4,1H-triazol-1-yl)-2,3-dimethyl. The following examples illustrate the preparation of the compds.: Example 1. To 15 cc. C6H5NO2, 8.4 g. 5-amino-1,2,4,1H-triazole and 8.5 g. Et α-allylacetoacetate were added and the mixture was heated to 150-60° 1 hr., cooled to room temperature, and the product precipitated with Et2O. The precipitate was washed with Et2O and recrystd. from H2O with charcoal. Example 2. 8.4 g. 5-amino-1,2,4,1H-triazole was dissolved in 15 cc. H2O, the mixture cooled to room temperature, and 13 g. ethyl acetoacetate added. After standing 15 min., a cold solution of 4 g. NaOH in 10 cc. H2O was added slowly with cooling to keep at room temperature After standing for 2 days, the mixture was diluted to 40 cc. and warmed to redissolve the precipitate, then 6 g. cold glacial AcOH added, and, after chilling, the product filtered, washed with H2O, and recrystd. from boiling H2O. Example 3. To 15 cc. C6H5NO2, 9.8 g. 5-amino-3-methyl-1,2,4,1H-triazole and 6.5 g. Et acetoacetate were added and the mixture was heated to 150160° 1 hr., cooled to room temperature, and the product isolated by diluting with Et2O and recrystg. from H2O. Example 4. Example 3 was repeated except that 96 g. Et benzoylacetate was substituted for 6.5 g. Et acetoacetate. By the same procedure as used in the 1st example of U.S. 2,444,605 in testing VIII as

stabilizers, IX had a fog d. of 0.06; an equivalent amount of X gave the same results; 75 mg. XI substituted for 100 mg. IX gave a fog d. of 0.1. Cf. preceding and following abstrs.

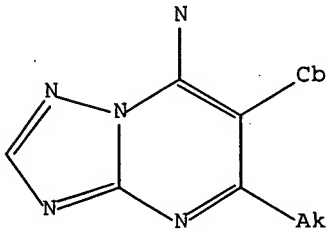
IT 856864-33-0P, s-Triazolo[1,5-a]pyrimidine, 7-amino-6-cyclohexyl-5-methyl-
RL: PREP (Preparation)(preparation of)

RN 856864-33-0 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 7-amino-6-cyclohexyl-5-methyl- (5CI) (CA INDEX NAME)



=> d l2; d l7; d l11; d his; log y
L2 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.
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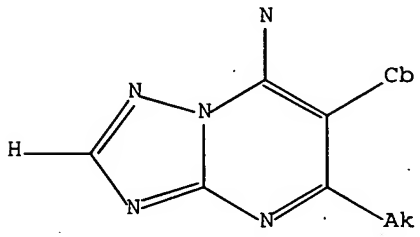
L7 HAS NO ANSWERS
L6 STR




Structure attributes must be viewed using STN Express query preparation.
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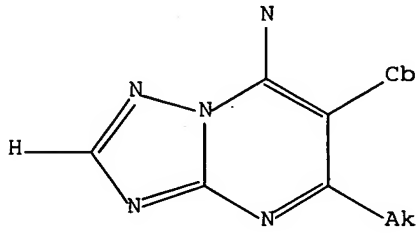


Structure attributes must be viewed using STN Express query preparation.
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L2 QUE L1
L3 15 S L2
L4 244 S L2 FUL

FILE 'CAPLUS' ENTERED AT 11:57:07 ON 18 JUN 2007
L5 34 S L4

FILE 'REGISTRY' ENTERED AT 11:57:36 ON 18 JUN 2007
L6 STRUCTURE UPLOADED
L7 QUE L6
L8 12 S L7 SAM SUB=L4

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L14           2 S L13

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L15           0 S L13
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L17           0 S L16 NOT L14


COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
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FULL ESTIMATED COST                              63.70     331.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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STN INTERNATIONAL LOGOFF AT 12:03:19 ON 18 JUN 2007
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| S3 | 2 | "6770669".pn. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/15 11:12 |
| S4 | 2 | "6872738".pn. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/15 11:12 |
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| S11 | 125366 | phytopathogenic fungi | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/18 09:07 |
| S12 | 6189 | phytopathogenic fungi | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 13:41 |

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| S14 | 840 | phytopathogenic fungi pyrimidine | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 13:41 |
| S15 | 298252 | seed | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 13:41 |
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| S17 | 818293 | amino | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 13:42 |
| S18 | 582 | S16 S17 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 13:42 |
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| S23 | 2 | "5961561".pn. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/15 15:14 |
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| S25 | 6189 | phytopathogenic fungi | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/16 15:51 |
| S26 | 73 | pentafluoro and S25 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/16 15:52 |

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| S27 | 1144 | 514/227.8.ccls. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/16 15:52 |
| S28 | 346 | S27 and pyrimidine | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/16 15:53 |
| S29 | 3 | S27 and blasco.in. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/16 15:54 |
| S30 | 380 | 514/384.ccls. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/06/18 09:07 |
| S31 | 1 | triazalopyrimidine | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/18 09:07 |
| S32 | 4351 | pentafluoro | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/18 09:07 |
| S33 | 2 | S30 S32 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/18 09:08 |

# EAST Search History

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|---|---|---|---|---|---|---|
| S34 | 308 | fungal S32 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/18 09:08 |
| S35 | 155 | S34 pyrimidine | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | AND | ON | 2007/06/18 09:09 |